

- 2 -

Serial No.: 08/450,437

Batch No.: P58

Group Art Unit No.: 1711

(R,S)-N-[α -(methoxycarbonyl)benzyl]-7-hydroxy-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(carboxy)benzyl]-7-methoxy-2-phenylquinoline-4-carboxamide hydrochloride;
(R,S)-N-[α -(methylaminocarbonyl)benzyl]-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(2-thienyl)quinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(2-furyl)quinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(4-pyridyl)quinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)-2-thienylmethyl]-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonylmethyl)benzyl]-2-phenylquinoline-4-carboxamide;
(-)-(R)-N-[α -(methoxycarbonyl)-1,4-cyclohexadienylmethyl]-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(1-hydroxyethyl)benzyl]-2-phenylquinoline-4-carboxamide single diast;
(R,S)-N-(α -ethylbenzyl)-3-methoxy-2-phenylquinoline-4-carboxamide;
(R,S)-N-(α -ethylbenzyl)-3-n-butyl-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]benzo-1,3-cycloheptadieno[1,2-b]quinoline-8-carboxamide;
(R,S)-N-(α -ethylbenzyl)-3-hexyl-2-phenylquinoline-4-carboxamide;
(-)-(S)-N-(α -ethylbenzyl)-3-methyl-2-phenylquinoline-4-carboxamide;
(+)-(R)-N-(α -ethylbenzyl)-3-methyl-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(2-methoxyphenyl)quinoline-4-carboxamide;
(R,S)-N-(α -ethylbenzyl)-3-phenyl-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(2-fluorophenyl)quinoline-4-carboxamide;
(R,S)-N-[α -(ethyl)-3,4-dichlorobenzyl]-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(hydroxymethyl)benzyl]-2-phenylquinoline-4-carboxamide;
(R,S)-N-(α -ethylbenzyl)-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-3-methyl-2-phenylquinoline-4-carboxamide;
(R,S)-N-(α -ethylbenzyl)-3-methyl-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-7-chloro-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-6-methyl-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxymethyl)benzyl]-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-6-chloro-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-3-ethyl-2-phenylquinoline-4-carboxamide;
(R,S)-N-(α -n-propylbenzyl)-2-phenylquinoline-4-carboxamide;
(R,S)-N-(α -ethylbenzyl)-3-ethyl-2-phenylquinoline-4-carboxamide;
(R,S)-N-(α -ethylbenzyl)-3-phthalimido-2-phenylquinoline-4-carboxamide;

- 3 -

Serial No.: 08/450,437

Batch No.: P58

Group Art Unit No.: 1711

(R,S)-N-(α -ethylbenzyl)-3-n-propyl-2-phenylquinoline-4-carboxamide;
(-)-(S)-N-(α -ethylbenzyl)-6-bromo-3-methyl-2-(4-bromophenyl)quinoline-4-carboxamide;
(-)-(S)-N-(α -ethylbenzyl)-6-bromo-3-methyl-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-6-methoxy-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(2-benzofuryl)quinoline-4-carboxamide;
(R,S)-N-[(1,2-diphenyl)ethyl]-2-phenylquinoline-4-carboxamide;
(R,S)-N-(α -trifluoromethylbenzyl)-2-phenylquinoline-4-carboxamide;
(-)-(S)-N-(α -ethylbenzyl)-3-methoxy-2-phenylquinoline-4-carboxamide;
(-)-(S)-N-(α -ethylbenzyl)-3-ethyl-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(ethyl)-4-chlorobenzyl]-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(3-thienyl)quinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-5,6-dihydrobenzo[a]acridine-7-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(2-pyrryl)quinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(2-thiazolyl)quinoline-4-carboxamide;
(R,S)-N-(1-indanyl)-2-phenylquinoline-4-carboxamide;
(R,S)-N-(α -n-butylbenzyl)-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(4-methylphenyl)quinoline-4-carboxamide;
(R,S)-N-(α -heptylbenzyl)-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(2-methylphenyl)quinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(4-methoxyphenyl)quinoline-4-carboxamide;
N-(1-phenylcyclopentyl)-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(4-hydroxyphenyl)quinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(3,4-methylenedioxyphenyl)quinoline-4-carboxamide;
N-(α,α -dimethylbenzyl)-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(ethyl)-4-methylbenzyl]-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(3-pyrryl)quinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(3,4-dichlorophenyl)quinoline-4-carboxamide;
(-)-(R)-N-[α -(aminomethyl)benzyl]-2-phenylquinoline-4-carboxamide;
(-)-(S)-N-(α -ethylbenzyl)-3-amino-2-phenylquinoline-4-carboxamide;
(-)-(S)-N-(α -ethylbenzyl)-3-chloro-2-phenylquinoline-4-carboxamide;
(-)-(S)-N-(α -ethylbenzyl)-3-bromo-2-phenylquinoline-4-carboxamide;

- 4 -

Serial No.: 08/450,437

Batch No.: P58

Group Art Unit No.: 1711

(R,S)-N-(α -*iso*-propylbenzyl)-2-phenylquinoline-4-carboxamide;
(-)-(S)-N-(α -ethylbenzyl)-2-phenylquinoline-4-carboxamide;
(+)-(R)-N-(α -ethylbenzyl)-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-6-fluoro-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-cyclohexylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(3-chlorophenyl)quinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(2-chlorophenyl)quinoline-4-carboxamide;
(R,S)-N-(α -ethylbenzyl)-3-hydroxy-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-8-acetyloxy-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-8-hydroxy-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(2,4-dichlorophenyl)quinoline-4-carboxamide;
(-)-(R)-N-[α -(methoxycarbonyl)-4-hydroxybenzyl]-2-phenylquinoline-4-carboxamide hydrochloride;
N-diphenylmethyl-2-phenylquinoline-4-carboxamide;
(-)-(S)-N-(α -ethylbenzyl)-3-hydroxy-2-phenylquinoline-4-carboxamide;
(+)-(R)-N-(α -ethylbenzyl)-3-hydroxy-2-phenylquinoline-4-carboxamide;
(-)-(R)-N-[α -(methoxycarbonyl)benzyl]-3-hydroxy-2-phenylquinoline-4-carboxamide;
(-)-(R)-N-[α -(dimethylaminomethyl)benzyl]-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(dimethylaminocarbonyl)benzyl]-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(aminocarbonyl)benzyl]-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(1-pyrrolidinylcarbonyl)benzyl]-2-phenylquinoline-4-carboxamide;
(-)-(R)-N-[α -(carboxy)benzyl]-2-phenylquinoline-4-carboxamide hydrochloride;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(4-chlorophenyl)quinoline-4-carboxamide;
(R)-N-[α -(methoxycarbonyl)-4-methoxybenzyl]-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)- α -(methyl)benzyl]-N-methyl-2-phenylquinoline-4-carboxamide hydrochloride;
(R,S)-N-[α -(methylcarbonyl)benzyl]-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(2-hydroxyethyl)benzyl]-2-phenylquinoline-4-carboxamide;
(-)-(S)-N-(α -ethylbenzyl)-3-(2-dimethylaminoethoxy)-2-phenylquinoline-4-carboxamide hydrochloride;
(-)-(S)-N-(α -ethylbenzyl)-3-acetyl-amino-2-phenylquinoline-4-carboxamide;
(-)-(S)-N-(α -ethylbenzyl)-3-(3-dimethylaminopropoxy)-2-phenylquinoline-4-carboxamide hydrochloride;

- 5 -

Serial No.: 08/450,437
 Batch No.: P58
 Group Art Unit No.: 1711

(-)-(S)-N-(α -ethylbenzyl)-3-[2-(1-phthaloyl)ethoxy]-2-phenylquinoline-4-carboxamide hydrochloride;
 (-)-(S)-N-(α -ethylbenzyl)-3-(2-aminoethoxy)-2-phenylquinoline-4-carboxamide hydrochloride;
 (+)-(S)-N-(α -ethylbenzyl)-3-[2-(1-pyrrolidinyl)ethoxy]-2-phenylquinoline-4-carboxamide hydrochloride;
 (-)-(S)-N-(α -ethylbenzyl)-3-(dimethylaminoacetyl amino)-2-phenylquinoline-4-carboxamide;
 N-(α,α -dimethylbenzyl)-3-hydroxy-2-phenylquinoline-4-carboxamide;
 N-(α,α -dimethylbenzyl)-3-amino-2-phenylquinoline-4-carboxamide;
 (-)-(S)-N-(α -ethylbenzyl)-5-methyl-2-phenylquinoline-4-carboxamide;
 (R,S)-N-[α -(1-hydroxyethyl)benzyl]-3-methyl-2-phenylquinoline-4-carboxamide;
 (R,S)-N-[α -(methylcarbonyl)benzyl]-3-methyl-2-phenylquinoline-4-carboxamide;
 (R,S)-N-[α -(ethyl)-4-pyridylmethyl]-2-phenylquinoline-4-carboxamide;
 (R,S)-N-[α -(ethyl)-2-thienylmethyl]-2-phenylquinoline-4-carboxamide;
 (+)-(S)-N-(α -ethylbenzyl)-3-dimethylaminomethyl-2-phenylquinoline-4-carboxamide hydrochloride;
 (S)-N-(α -ethylbenzyl)-3-methyl-7-methoxy-2-phenylquinoline-4-carboxamide;
 (S)-N-(α -ethylbenzyl)-3-amino-5-methyl-2-phenylquinoline-4-carboxamide; and
 (S)-N-(α -ethylbenzyl)-3-methoxy-5-methyl-2-phenylquinoline-4-carboxamide.

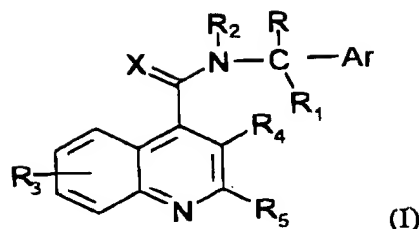
23
 23. A compound according to claim 60, or a salt or solvate thereof, in which:
 Z is phenyl, 2-chlorophenyl, 2-thienyl or cyclohexadienyl;
 R is methyl, ethyl, n-propyl, -COOMe, or -COMe;
 R₂ is hydrogen or methyl;
 R₃ is hydrogen, methoxy, or hydroxy;
 R₄ is hydrogen, methyl, ethyl, methoxy, hydroxy, amino, chlorine, bromine, dimethylaminoethoxy, 2-(1-phthaloyl)ethoxy, aminoethoxy, 2-(1-pyrrolidinyl)ethoxy, dimethylaminopropoxy, dimethylaminoacetyl amino, acetyl amino, or dimethylaminomethyl; and
 Y is phenyl, 2-thienyl, 2-furyl, 2-pyrrol, 2-thiazolyl or 3-thienyl.

24. A pharmaceutical composition comprising a compound according to claim 23 or a pharmaceutically acceptable salt or solvate thereof and a pharmaceutically acceptable carrier.

25. A compound, or solvate or salt thereof, of formula (I):

- 6 -

Serial No.: 08/450,437
 Batch No.: P58
 Group Art Unit No.: 1711



in which:

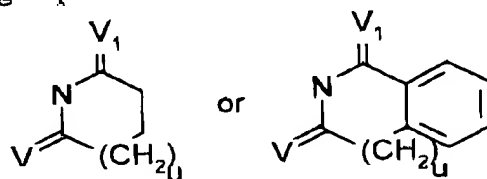
Ar is an optionally substituted phenyl group, or a naphthyl or C₅₋₇ cycloalkdienyl group, or an optionally substituted single or fused ring heterocyclic group, having aromatic character, containing from 5 to 12 ring atoms and comprising up to four hetero-atoms in the or each ring selected from S, O, N;

R is linear or branched C₁₋₈ alkyl, C₃₋₇ cycloalkyl, C₄₋₇ cycloalkylalkyl, an optionally substituted phenyl group or a phenyl C₁₋₆ alkyl group, an optionally substituted five-membered heteroaromatic ring comprising up to four heteroatoms selected from O and N, hydroxy C₁₋₆ alkyl, amino C₁₋₆ alkyl, C₁₋₆ alkylaminoalkyl, di C₁₋₆ alkylaminoalkyl, C₁₋₆ acylaminoalkyl, C₁₋₆ alkoxyalkyl, C₁₋₆ alkylcarbonyl, carboxy, C₁₋₆ alkoxyxcarbonyl, C₁₋₆ alkoxyxcarbonyl C₁₋₆ alkyl, aminocarbonyl, C₁₋₆ alkylaminocarbonyl, di C₁₋₆ alkylaminocarbonyl, halogeno C₁₋₆ alkyl; or is a group - (CH₂)_p- when cyclized onto Ar, where p is 2 or 3;

R₁ is hydrogen or C₁₋₆ linear or branched alkyl, or together with R₂ form a -(CH₂)_n- group in which n represents 3, 4, or 5; or R₁ together with R forms a group -(CH₂)_q-, in which q is 2, 3, 4 or 5;

R₂ is hydrogen;

R₃ is hydrogen, C₁₋₆ linear or branched alkyl, C₁₋₆ alkenyl, aryl, C₁₋₆ alkoxy, hydroxy, halogen, nitro, cyano, carboxy, carboxamido, sulphonamido, C₁₋₆ alkoxyxcarbonyl, trifluoromethyl, acyloxy, phthalimido, amino, mono- and di-C₁₋₆ alkylamino, -O(CH₂)_r-NT₂, in which r is 2, 3, or 4 and T is hydrogen or C₁₋₆ alkyl or it forms with the adjacent nitrogen a group



in which V and V₁ are independently hydrogen or oxygen and u is 0, 1 or 2;

-O(CH₂)_s-OW₂ in which s is 2, 3, or 4 and W is hydrogen or C₁₋₆ alkyl; hydroxyalkyl, aminoalkyl, mono- or di-alkylaminoalkyl, acylamino, alkylsulphonylamino,

- 7 -

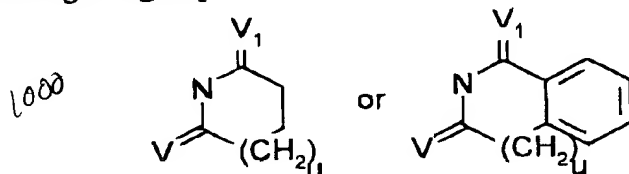
Serial No.: 08/450,437

Batch No.: P58

Group Art Unit No.: 1711

aminoacylamino, mono- or di-alkylaminoacylamino; with up to four R_3 substituents being present in the quinoline nucleus;

R_4 is C_{1-6} linear or branched alkyl, C_{1-6} alkenyl, aryl, C_{1-6} alkoxy, hydroxy, halogen, nitro, cyano, carboxy, carboxamido, sulphonamido, C_{1-6} alkoxy carbonyl, trifluoromethyl, acyloxy, phthalimido, amino, mono- and di- C_{1-6} alkylamino, $-O(CH_2)_r-NT_2$, in which r is 2, 3, or 4 and T is hydrogen or C_{1-6} alkyl or it forms with the adjacent nitrogen a group



in which V and V_1 are independently hydrogen or oxygen and u is 0, 1 or 2;

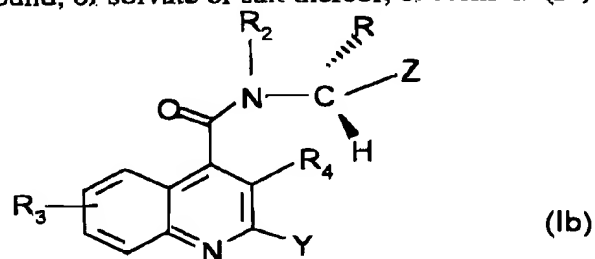
$-O(CH_2)_s-OW_2$ in which s is 2, 3, or 4 and W is hydrogen or C_{1-6} alkyl; hydroxyalkyl, aminoalkyl, mono- or di-alkylaminoalkyl, acylamino, alkylsulphonylamino, aminoacylamino, mono- or di-alkylaminoacylamino; with up to four R_3 substituents being present in the quinoline nucleus;

or R_4 is a group $-(CH_2)_t-$ when cyclized onto R_5 as aryl, in which t is 1, 2, or 3;

R_5 is branched or linear C_{1-6} alkyl, C_{3-7} cycloalkyl, C_{4-7} cycloalkylalkyl, optionally substituted aryl, wherein an optional substituent is hydroxy, halogen, C_{1-6} alkoxy or C_{1-6} alkyl, or an optionally substituted single or fused ring heterocyclic group, having aromatic character, containing from 5 to 12 ring atoms and comprising up to four hetero-atoms in the or each ring selected from S, O, N;

X is O, S, or $N-C\equiv N$.

60. A compound, or solvate or salt thereof, of formula (Ib):



in which:

R is linear or branched C_{1-8} alkyl, C_{3-7} cycloalkyl, C_{4-7} cycloalkylalkyl, an optionally substituted phenyl group or a phenyl C_{1-6} alkyl group, an optionally substituted five-membered heteroaromatic ring comprising up to four heteroatoms selected from O and N, hydroxy C_{1-6} alkyl, amino C_{1-6} alkyl, C_{1-6} alkylaminoalkyl, di C_{1-6} alkylaminoalkyl,

- 8 -

Serial No.: 08/450,437

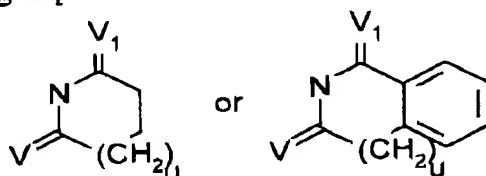
Batch No.: P58

Group Art Unit No.: 1711

C₁₋₆ acylaminoalkyl, C₁₋₆ alkoxyalkyl, C₁₋₆ alkylcarbonyl, carboxy, C₁₋₆ alkoxyxcarbonyl, C₁₋₆ alkoxycarbonyl C₁₋₆ alkyl, aminocarbonyl, C₁₋₆ alkylaminocarbonyl, di C₁₋₆ alkylaminocarbonyl, halogeno C₁₋₆ alkyl; or is a group - (CH₂)_p- when cyclized onto Ar, where p is 2 or 3;

R₂ is hydrogen;

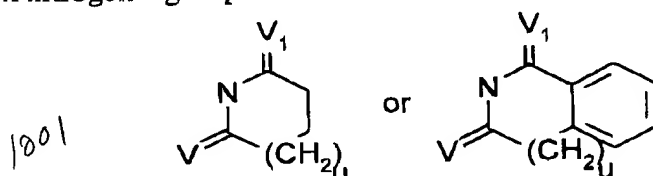
R₃ is hydrogen, C₁₋₆ linear or branched alkyl, C₁₋₆ alkenyl, aryl, C₁₋₆ alkoxy, hydroxy, halogen, nitro, cyano, carboxy, carboxamido, sulphonamido, C₁₋₆ alkoxycarbonyl, trifluoromethyl, acyloxy, phthalimido, amino, mono- and di-C₁₋₆ alkylamino, -O(CH₂)_r-NT₂, in which r is 2, 3, or 4 and T is hydrogen or C₁₋₆ alkyl or it forms with the adjacent nitrogen a group



in which V and V₁ are independently hydrogen or oxygen and u is 0, 1 or 2;

-O(CH₂)_s-OW₂ in which s is 2, 3, or 4 and W is hydrogen or C₁₋₆ alkyl; hydroxyalkyl, aminoalkyl, mono- or di-alkylaminoalkyl, acylamino, alkylsulphonylamino, aminoacylamino, mono- or di-alkylaminoacylamino; with up to four R₃ substituents being present in the quinoline nucleus;

R₄ is C₁₋₆ linear or branched alkyl, C₁₋₆ alkenyl, aryl, C₁₋₆ alkoxy, hydroxy, halogen, nitro, cyano, carboxy, carboxamido, sulphonamido, C₁₋₆ alkoxycarbonyl, trifluoromethyl, acyloxy, phthalimido, amino, mono- and di-C₁₋₆ alkylamino, -O(CH₂)_r-NT₂, in which r is 2, 3, or 4 and T is hydrogen or C₁₋₆ alkyl or it forms with the adjacent nitrogen a group



in which V and V₁ are independently hydrogen or oxygen and u is 0, 1 or 2;

-O(CH₂)_s-OW₂ in which s is 2, 3, or 4 and W is hydrogen or C₁₋₆ alkyl; hydroxyalkyl, aminoalkyl, mono- or di-alkylaminoalkyl, acylamino, alkylsulphonylamino, aminoacylamino, mono- or di-alkylaminoacylamino; with up to four R₃ substituents being present in the quinoline nucleus;

or R₄ is a group -(CH₂)_t- when cyclized onto R₅ as aryl, in which t is 1, 2, or 3;

- 9 -

Serial No.: 08/450,437

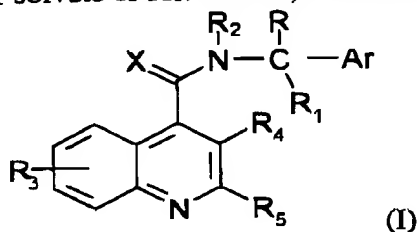
Batch No.: P58

Group Art Unit No.: 1711

Z is phenyl or phenyl substituted by hydroxy, halogen, C₁₋₆ alkoxy, C₁₋₆ alkyl or Z is a single or fused ring heterocyclic group, having aromatic character, containing from 5 to 12 ring atoms and comprising up to four hetero-atoms in the or each ring selected from S, O, N or Z is C₅₋₇ cycloalkdienyl; and

Y is C₃₋₇ cycloalkyl, phenyl or phenyl substituted by hydroxy, halogen, C₁₋₆ alkoxy, or C₁₋₆ alkyl, or Y is a single or fused ring heterocyclic group, having aromatic character, containing from 5 to 12 ring atoms and comprising up to four hetero-atoms in the or each ring selected from S, O, N.

61. A compound, or solvate or salt thereof, of formula (I):



in which:

Ar is an optionally substituted phenyl group, or a naphthyl or C₅₋₇ cycloalkdienyl group, or an optionally substituted single or fused ring heterocyclic group, having aromatic character, containing from 5 to 12 ring atoms and comprising up to four hetero-atoms in the or each ring selected from S, O, N;

R is linear or branched C₁₋₈ alkyl, C₃₋₇ cycloalkyl, C₄₋₇ cycloalkylalkyl, an optionally substituted phenyl group or a phenyl C₁₋₆ alkyl group, an optionally substituted five-membered heteroaromatic ring comprising up to four heteroatoms selected from O and N, hydroxy C₁₋₆ alkyl, amino C₁₋₆ alkyl, C₁₋₆ alkylaminoalkyl, di C₁₋₆ alkylaminoalkyl, C₁₋₆ acylaminoalkyl, C₁₋₆ alkoxyalkyl, C₁₋₆ alkylcarbonyl, carboxy, C₁₋₆ alkoxyxcarbonyl, C₁₋₆ alkoxycarbonyl C₁₋₆ alkyl, aminocarbonyl, C₁₋₆ alkylaminocarbonyl, di C₁₋₆ alkylaminocarbonyl, halogeno C₁₋₆ alkyl; or is a group - (CH₂)_p- when cyclized onto Ar, where p is 2 or 3;

R₁ is hydrogen or C₁₋₆ linear or branched alkyl, or together with R₂ form a -(CH₂)_n- group in which n represents 3, 4, or 5; or R₁ together with R forms a group -(CH₂)_q-, in which q is 2, 3, 4 or 5;

R₂ is hydrogen;

R₃ is hydrogen, C₁₋₆ linear or branched alkyl, C₁₋₆ alkenyl, aryl, C₁₋₆ alkoxy, hydroxy, halogen, nitro, cyano, carboxy, carboxamido, sulphonamido, C₁₋₆ alkoxycarbonyl, trifluoromethyl, acyloxy, phthalimido, amino, mono- and di-C₁₋₆ alkylamino,